

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
12 August 2004 (12.08.2004)

PCT

(10) International Publication Number
WO 2004/068116 A3

(51) International Patent Classification⁷: **C07H 21/02**,
G01N 33/543

(21) International Application Number:
PCT/US2004/000425

(22) International Filing Date: 9 January 2004 (09.01.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/440,840 17 January 2003 (17.01.2003) US
60/440,838 17 January 2003 (17.01.2003) US
10/379,965 4 March 2003 (04.03.2003) US

(71) Applicant (for all designated States except US): **ACLARA BIOSCIENCES, INC.** [US/US]; 1288 Pear Avenue, Mountain View, CA 94043 (US).

(72) Inventor; and

(75) Inventor/Applicant (for US only): **SINGH, Sharat** [US/US]; 27359 Julietta Lane, Los Altos Hills, CA 94022 (US).

(74) Agent: **MACEVICZ, Stephen**; Aclara Biosciences, Inc., 1288 Pear Avenue, Mountain View, CA 94043 (US).

(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH,

GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Declarations under Rule 4.17:

- as to the identity of the inventor (Rule 4.17(i)) for all designations
- as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii)) for the following designations AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, ARIPO patent (BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG)
- as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii)) for all designations
- of inventorship (Rule 4.17(iv)) for US only

Published:

- with international search report
- before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments

(88) Date of publication of the international search report:
13 January 2005

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: **RECEPTOR DEORPHANIZATION USING TAGGED MOLECULAR LIBRARIES**

(57) **Abstract:** The present invention provides a method of screening test compounds and test conditions for the ability to modulate (activate or inhibit, enhance or depress) a GPCR pathway, and provides methods of assessing GPCR pathway function, such as the function of an orphan GPCR, in a cell in general. In another aspect of the present method, lipophilic photosensitizers are attached to the cellular membranes. A candidate ligand or a library of candidate ligands can be attached to a molecular tag, after which the ligand is allowed to bind to the receptor. After excitation of the photosensitizer with a light source, the cleavable linker is cleaved releasing the molecular tag. The released molecular tag can be detected in the extracellular fluid, as detailed above, which provides information on the structure of the ligand for the GPCR.

WO 2004/068116 A3

INTERNATIONAL SEARCH REPORT

International application No.

PCT/US04/00425

A. CLASSIFICATION OF SUBJECT MATTER

IPC(7) : CO7H 21/02; GO1N 33/543

US CL : 435/262; 436/518, 516

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

U.S. : 435/262; 436/518, 516

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)

Caplus, Medline, Biosis

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	WO 00/66607 A (ACLARA BIOSCIENCES, INC) 09 November 2000 (09.11.2000), see abstract, see summary, see entire document.	1-9
Y	US 5,360,819 A (Roger W. Giese) 01 November 1994 (01.11.1994), see abstract, column 4, lines 1-30, column 18, lines 1-35, see entire document.	1-9
Y	US, 5,340,716 A (ULLMAN et al) 23 August 1994, (08.23.1994), see abstract, see summary, column 7, lines 1-20, 55-68, column 22, lines 57-68, see entire document.	1-9

☐ Further documents are listed in the continuation of Box C.

☐ See patent family annex.

* Special categories of cited documents:

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier application or patent published on or after the international filing date

"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art

"&" document member of the same patent family

Date of the actual completion of the international search

20 September 2004 (20.09.2004)

Date of mailing of the international search report

03 DEC 2004

Name and mailing address of the ISA/US

Mail Stop PCT, Attn: ISA/US
Commissioner for Patents
P.O. Box 1450
Alexandria, Virginia 22313-1450

Facsimile No. (703) 305-3230

Authorized officer

Deborah A Davis

Telephone No. (572) 272-0818